

09/313,534

=> file caplus

FILE 'CAPLUS' ENTERED AT 10:11:16 ON 25 SEP 2002

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FILE COVERS 1907 - 25 Sep 2002 VOL 137 ISS 13

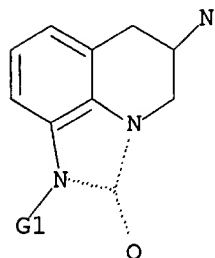
FILE LAST UPDATED: 24 Sep 2002 (20020924/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

CAS roles have been modified effective December 16, 2001. Please check your SDI profiles to see if they need to be revised. For information on CAS roles, enter HELP ROLES at an arrow prompt or use the CAS Roles thesaurus (/RL field) in this file.

=> d que

L1 STR



G1 O, S, CN

Structure attributes must be viewed using STN Express query preparation.

L3 18 SEA FILE=REGISTRY SSS FUL L1

L4 5 SEA FILE=CAPLUS L3

=> d 14 1-5 ibib abs hitstr

L4 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2002 ACS ✓

ACCESSION NUMBER: 1999:233800 CAPLUS

DOCUMENT NUMBER: 130:272022

TITLE: Sustained-release tablet formulation to treat Parkinson disease

INVENTOR(S): Ju, Tzu-chi Robert

PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, USA

SOURCE: PCT Int. Appl., 17 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

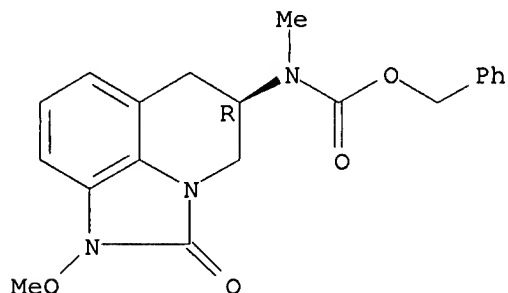
LANGUAGE: English

09/313,534

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9916442	A2	19990408	WO 1998-US17992	19980903
WO 9916442	A3	19990617		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 9812687	A	20000822	BR 1998-12687	19980303
CA 2301869	AA	19990408	CA 1998-2301869	19980903
AU 9892964	A1	19990423	AU 1998-92964	19980903
AU 742941	B2	20020117		
EP 1017391	A2	20000712	EP 1998-945805	19980903
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2001517701	T2	20011009	JP 2000-513578	19980903
US 6197339	B1	20010306	US 1998-146090	19980930
FI 2000000720	A	20000329	FI 2000-720	20000329
NO 2000001624	A	20000329	NO 2000-1624	20000329
US 2001053386	A1	20011220	US 2001-759286	20010111
PRIORITY APPLN. INFO.:				
			US 1997-60827P	P 19970930
			WO 1998-US17992	W 19980903
			US 1998-146090	A1 19980930
AB	A sustained-release tablet which permits twice daily administration for the treatment of Parkinson's disease, comprises (R)-5,6-dihydro-5-(methylamino)-4H-imidazo[4,5-ij]-quinolin-2(1H)-one (Z)-2-butenedioate (1:1) 0.3-16 %, starch 60-69 %, and hydroxypropyl Me cellulose 30-40 %.			
IT	222415-94-3P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (prepn. of methylaminoimidazoquinolinone to treat Parkinson's disease)			
RN	222415-94-3 CAPLUS			
CN	Carbamic acid, methyl[(5R)-1,2,5,6-tetrahydro-1-methoxy-2-oxo-4H-imidazo[4,5,1-ij]quinolin-5-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)			

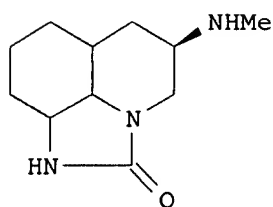
Absolute stereochemistry. Rotation (+).



L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1997:603239 CAPLUS
DOCUMENT NUMBER: 127:220605

09/313,534

TITLE: Synthesis of the Selective D2 Receptor Agonist
PNU-95666E from D-Phenylalanine Using a Sequential
Oxidative Cyclization Strategy
AUTHOR(S): Romero, Arthur G.; Darlington, William H.; McMillan,
Moses W.
CORPORATE SOURCE: Medicinal Chemistry Research and Chemical Research
Preparations, Pharmacia Upjohn Inc., Kalamazoo, MI,
49001, USA
SOURCE: Journal of Organic Chemistry (1997), 62(19), 6582-6587
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



AB A synthesis of PNU-95666E (I) was developed, starting from D-phenylalanine. Crit. to the success of this synthesis were two oxidative nitrogen annulations to provide the tricyclic ring system. A highly efficient redn. with borane-dimethyl sulfide was used to reduce three different functional groups, a total of six hydrides transferred, with no concomitant racemization, contributing to the synthesis of I in eight steps with an overall yield of 26%. The utility of this synthetic route has been demonstrated by the completion this synthesis on the multikilogram scale.

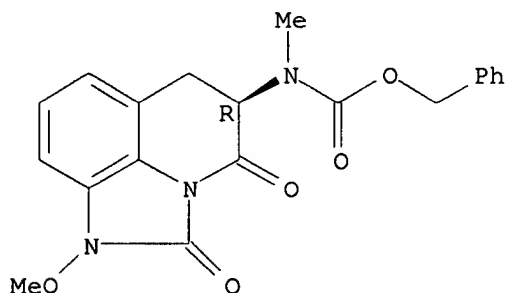
IT 194222-96-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of PNU-95666E)

RN 194222-96-3 CAPLUS

CN Carbamic acid, methyl(1,2,5,6-tetrahydro-1-methoxy-2,4-dioxo-4H-imidazo[4,5,1-ij]quinolin-5-yl)-, phenylmethyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

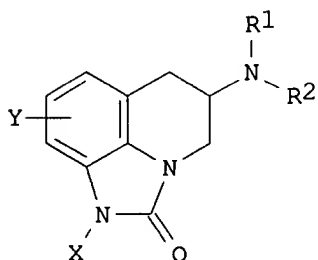


09/313,534

DOCUMENT NUMBER: 127:190739
TITLE: Preparation of 5,6-dihydro-4H-imidazo[4,5,1-
ij]quinolin-2(1H)-ones having central nervous system activity ✓
INVENTOR(S): Romero, Arthur G.
PATENT ASSIGNEE(S): Pharmacia & Upjohn Co., USA
SOURCE: U.S., 10 pp., Cont.-in-part of U.S. Ser. No. 97,608,
abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5652245	A	19970729	US 1996-592328	19960123
WO 9504056	A1	19950209	WO 1994-US6648	19940617
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ, VN RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 2001009916	A1	20010726	US 1999-313534	19990513
PRIORITY APPLN. INFO.:			US 1993-97608	B2 19930727
			WO 1994-US6648	W 19940617
			US 1996-592328	A1 19960123

OTHER SOURCE(S): MARPAT 127:190739
GI



AB The title compds. [I; R1, R2 = H, C1-6 alkyl; R1R2 = pyrrolidine, piperidine, morpholine, imidazole; X = MeO, R3SO2, CF3SO2, CN; R3 = C1-6 alkyl, (un)substituted C5-10 arom. ring; Y = H, Cl, Br, F, CN, etc.] and their salts, having anxiolytic, anti-depressant and central nervous system activity, and useful for treating schizophrenia, Parkinson's disease, anxiety, depression, migraine or for lowering blood pressure, were prepd. For example, 7-step synthesis of compd. I [R1 = H; R2 = nPr; X = MeO; Y = H] starting from (R)-1,2,3,4-tetrahydro-1-methoxy-2-oxo-3-quinolinamine is described. Treatment of above mentioned compd. I [R1 = H; R2 = nPr; X = MeO; Y = H] with 1-iodopropane in the presence of K2CO3 in MeCN afforded 90% I [R1 = R2 = nPr; X = MeO; Y = H] which showed Ki of 38 nM against 5-HT1DB receptor binding.

IT **166742-81-0P 166742-92-3P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of 5,6-dihydro-4H-imidazo[4,5,1-ij]quinolin-2(1H)-ones having

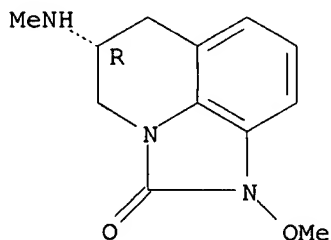
09/313,534

central nervous system activity)

RN 166742-81-0 CAPLUS

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-1-methoxy-5-(methylamino)-, (R)- (9CI) (CA INDEX NAME)

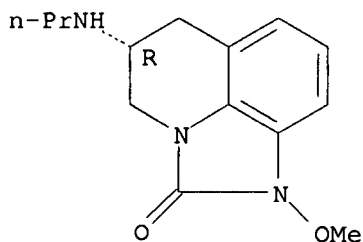
Absolute stereochemistry. Rotation (-).



RN 166742-92-3 CAPLUS

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-1-methoxy-5-(propylamino)-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



IT 166742-82-1P 166742-83-2P 166742-84-3P

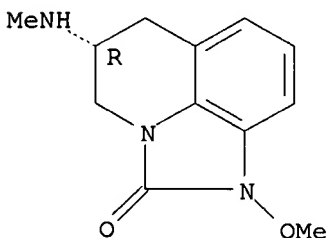
166742-93-4P 166742-94-5P 166742-95-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 5,6-dihydro-4H-imidazo[4,5,1-ij]quinolin-2(1H)-ones having central nervous system activity)

RN 166742-82-1 CAPLUS

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-1-methoxy-5-(methylamino)-, monohydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



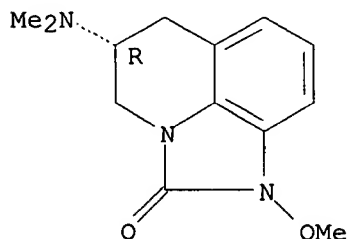
● HCl

RN 166742-83-2 CAPLUS

09/313,534

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5-(dimethylamino)-5,6-dihydro-1-methoxy-, (R)- (9CI) (CA INDEX NAME)

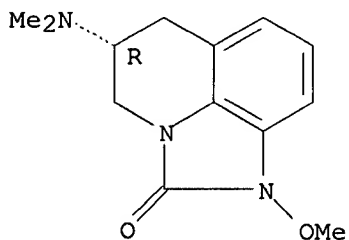
Absolute stereochemistry. Rotation (-).



RN 166742-84-3 CAPLUS

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5-(dimethylamino)-5,6-dihydro-1-methoxy-, monohydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

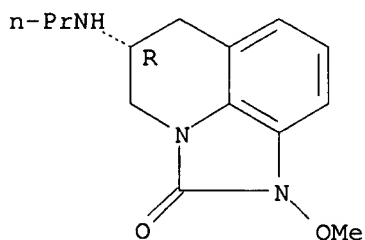


● HCl

RN 166742-93-4 CAPLUS

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-1-methoxy-5-(propylamino)-, monohydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



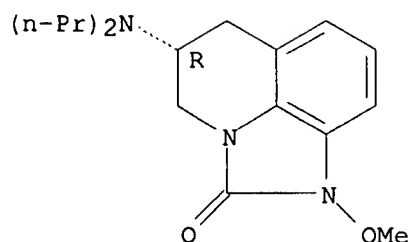
● HCl

RN 166742-94-5 CAPLUS

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5-(dipropylamino)-5,6-dihydro-1-methoxy-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

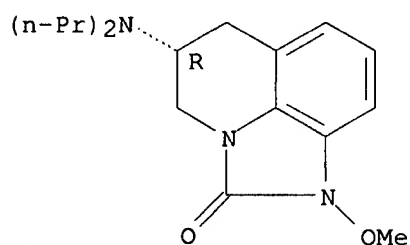
09/313,534



RN 166742-95-6 CAPLUS

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5-(dipropylamino)-5,6-dihydro-1-methoxy-, monohydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



● HCl

IT 194222-72-5P 194222-88-3P 194222-96-3P

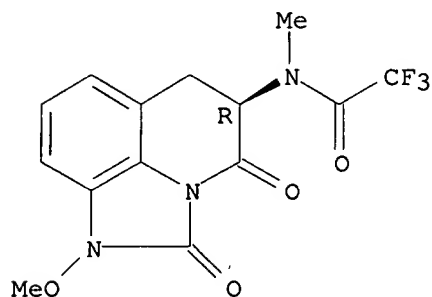
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of 5,6-dihydro-4H-imidazo[4,5,1-ij]quinolin-2(1H)-ones having central nervous system activity)

RN 194222-72-5 CAPLUS

CN Acetamide, 2,2,2-trifluoro-N-methyl-N-(1,2,5,6-tetrahydro-1-methoxy-2,4-dioxo-4H-imidazo[4,5,1-ij]quinolin-5-yl)-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

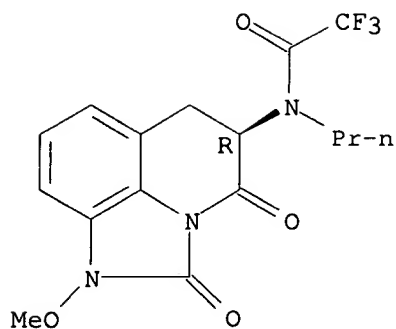


RN 194222-88-3 CAPLUS

CN Acetamide, 2,2,2-trifluoro-N-propyl-N-(1,2,5,6-tetrahydro-1-methoxy-2,4-dioxo-4H-imidazo[4,5,1-ij]quinolin-5-yl)-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

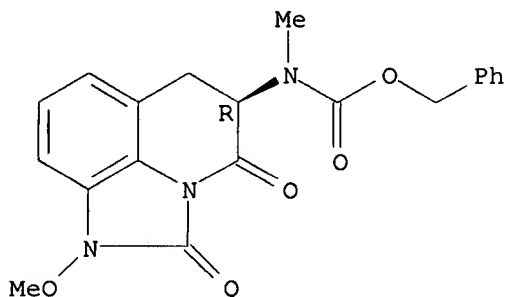
09/313,534



RN 194222-96-3 CAPLUS

CN Carbamic acid, methyl(1,2,5,6-tetrahydro-1-methoxy-2,4-dioxo-4H-imidazo[4,5,1-ij]quinolin-5-yl)-, phenylmethyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L4 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1996:213416 CAPLUS

DOCUMENT NUMBER: 124:343186

TITLE: Oxidative cyclization of acyclic ureas with bis(trifluoroacetoxy)iodobenzene to generate N-substituted 2-benzimidazolinones

AUTHOR(S): Romero, Arthur G.; Darlington, William H.; Jacobsen, E. Jon; Mickelson, John W.

CORPORATE SOURCE: Med. Chem. Res., Pharmacia, Upjohn, Inc., Kalamazoo, MI, 49001, USA

SOURCE: Tetrahedron Letters (1996), 37(14), 2361-4

CODEN: TELEAY; ISSN: 0040-4039

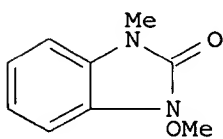
PUBLISHER: Elsevier

DOCUMENT TYPE: Journal

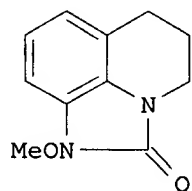
LANGUAGE: English

OTHER SOURCE(S): CASREACT 124:343186

GI



I



II

AB A facile method to synthesize N-substituted 2-benzimidazolinones from secondary arom. amines is presented. Sequential treatment of a secondary arom. amine with phosgene and methoxylamine afforded an acyclic 3-substituted 3-aryl-1-methoxyurea. Brief exposure of this urea to bis(trifluoroacetoxy)iodobenzene induced an oxidative cyclization. to the ortho-position of the benzene ring, resulting in the formation of a 3-substituted 1-methoxy-2-benzimidazolinone, e.g. I and II. Hydrogenation over Pd/C cleaves the methoxy group to afford the N-substituted 2-benzimidazolinone.

IT **176790-85-5P**

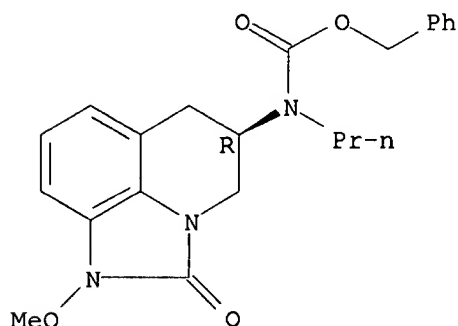
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(oxidative cyclization of acyclic ureas with bis(trifluoroacetoxy)iodobenzene to generate N-substituted 2-benzimidazolinones)

RN 176790-85-5 CAPLUS

CN Carbamic acid, propyl(1,2,5,6-tetrahydro-1-methoxy-2-oxo-4H-imidazo[4,5,1-ij]quinolin-5-yl)-, phenylmethyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2002 ACS
 ACCESSION NUMBER: 1995:750538 CAPLUS
 DOCUMENT NUMBER: 123:143891
 TITLE: Heterocyclic amines having central nervous system activity
 INVENTOR(S): Romero, Arthur G.
 PATENT ASSIGNEE(S): Upjohn Co., USA
 SOURCE: PCT Int. Appl., 24 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9504056	A1	19950209	WO 1994-US6648	19940617
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RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
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AU 9472461	A1	19950228	AU 1994-72461	19940617

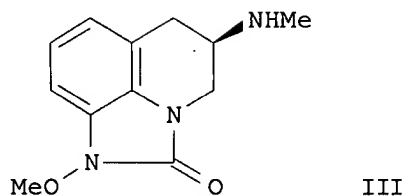
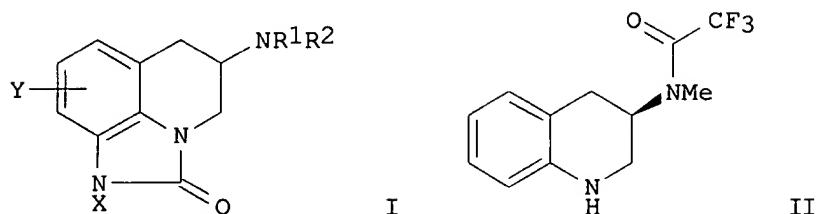
AU 684808	B2	19980108		
EP 724584	A1	19960807	EP 1994-921952	19940617
EP 724584	B1	19971105		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 09500898	T2	19970128	JP 1995-505808	19940617
AT 159943	E	19971115	AT 1994-921952	19940617
ES 2108474	T3	19971216	ES 1994-921952	19940617
CN 1128030	A	19960731	CN 1994-192910	19940627
CN 1043574	B	19990609		
US 5652245	A	19970729	US 1996-592328	19960123
US 2001009916	A1	20010726	US 1999-313534	19990513

PRIORITY APPLN. INFO.:

US 1993-97608	A	19930727
WO 1994-US6648	W	19940617
US 1996-592328	A1	19960123

OTHER SOURCE(S): MARPAT 123:143891

GI



AB Tricyclic N-contg. compds. I, having CNS (anxiolytic and anti-depressant) activity, and pharmaceutical salts thereof, are claimed [wherein R1, R2 = independently H, C1-6 alkyl; or R1R2 = atoms to form pyrrolidine, piperidine, morpholine or imidazole; X = OMe, SO2R3, SO2CF3 or CN; R3 = C1-6 alkyl or aryl; Y = H, Cl, Br, F, CN, CONR1R2, CF3, OMe, SO2NR1R2]. I are said to be suitable for treating schizophrenia, Parkinson's disease, anxiety, depression, high blood pressure, or migraine. Four compds. and their HCl salts were prepd. For example, (R)-1,2,3,4-tetrahydro-1-methoxy-2-oxo-3-quinolinamine underwent a sequence of N-acylation of the pendant amino group with ClCO2Me (99%), borane redn. of the oxo group and the carbamate (100%), double N-acylation (ring and methylamino nitrogens) with trifluoroacetic anhydride (67%), and selective hydrolysis of the ring trifluoroacetyl group (100%), to give the intermediate II. Treatment of II with phosgene and then methoxylamine-HCl in the presence of Et3N gave 75% 1-methoxyaminocarbonyl deriv., which was cyclized by treatment with PhI(OCOCF3) (72%) and deprotected with K2CO3 in MeOH (100%) to give title compd. III. In an isolation-induced aggression assay in mice, III (oral, dose unspecified) increased latency to attack from 66 s (control) to 600 s. Addnl. 5-HT receptor data for another I showed selectivity for 5-HT1DB receptors, with activity residing in the (R)-isomer.

IT **166743-02-8**
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological

09/313,534

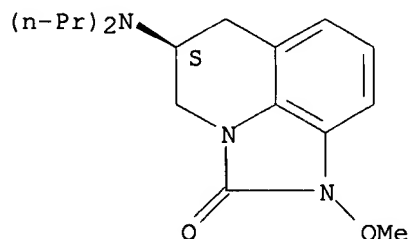
study, unclassified); BIOL (Biological study)

(bioactivity; prepn. of aminoimidazoquinolinones with 5-HT1 activity)

RN 166743-02-8 CAPLUS

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5-(dipropylamino)-5,6-dihydro-1-methoxy-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



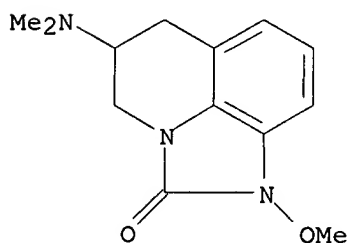
IT 166941-54-4 166941-55-5

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(bioactivity; prepn. of aminoimidazoquinolinones with 5-HT1 activity)

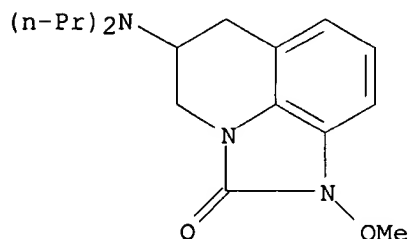
RN 166941-54-4 CAPLUS

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5-(dimethylamino)-5,6-dihydro-1-methoxy- (9CI) (CA INDEX NAME)



RN 166941-55-5 CAPLUS

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5-(dipropylamino)-5,6-dihydro-1-methoxy- (9CI) (CA INDEX NAME)



IT 166742-80-9P 166742-91-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

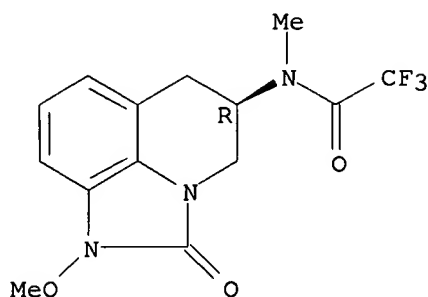
(intermediate; prepn. of aminoimidazoquinolinones with 5-HT1 activity)

RN 166742-80-9 CAPLUS

CN Acetamide, 2,2,2-trifluoro-N-methyl-N-(1,2,5,6-tetrahydro-1-methoxy-2-oxo-4H-imidazo[4,5,1-ij]quinolin-5-yl)-, (R)- (9CI) (CA INDEX NAME)

09/313,534

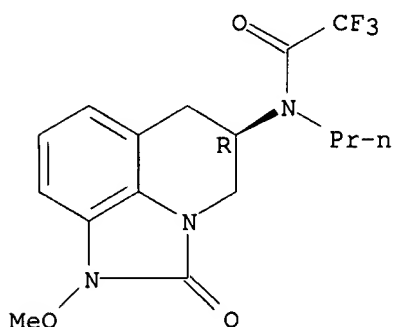
Absolute stereochemistry.



RN 166742-91-2 CAPLUS

CN Acetamide, 2,2,2-trifluoro-N-propyl-N-(1,2,5,6-tetrahydro-1-methoxy-2-oxo-4H-imidazo[4,5,1-ij]quinolin-5-yl)-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



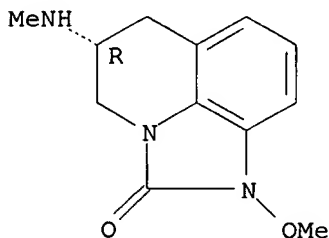
IT 166742-81-0P 166742-92-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of aminoimidazoquinolinones with 5-HT1 activity)

RN 166742-81-0 CAPLUS

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-1-methoxy-5-(methylamino)-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

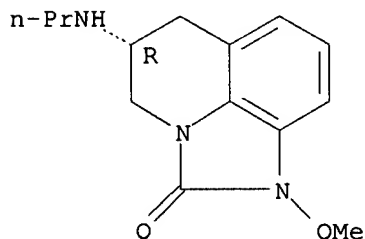


RN 166742-92-3 CAPLUS

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-1-methoxy-5-(propylamino)-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

09/313,534



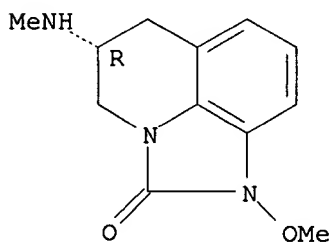
IT 166742-82-1P 166742-83-2P 166742-84-3P
166742-93-4P 166742-94-5P 166742-95-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of aminoimidazoquinolinones with 5-HT1 activity)

RN 166742-82-1 CAPLUS

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-1-methoxy-5-(methylamino)-, monohydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

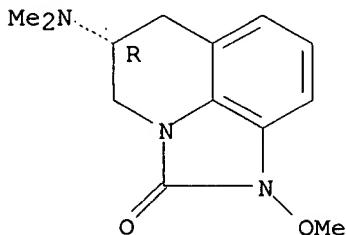


● HCl

RN 166742-83-2 CAPLUS

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5-(dimethylamino)-5,6-dihydro-1-methoxy-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

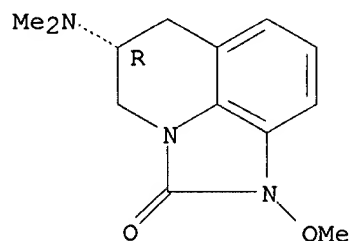


RN 166742-84-3 CAPLUS

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5-(dimethylamino)-5,6-dihydro-1-methoxy-, monohydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

09/313,534

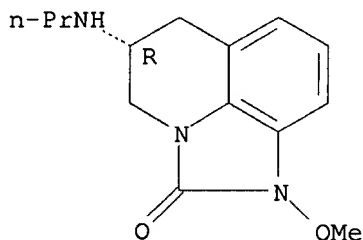


● HCl

RN 166742-93-4 CAPLUS

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-1-methoxy-5-(propylamino)-, monohydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

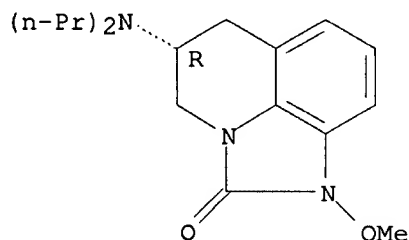


● HCl

RN 166742-94-5 CAPLUS

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5-(dipropylamino)-5,6-dihydro-1-methoxy-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

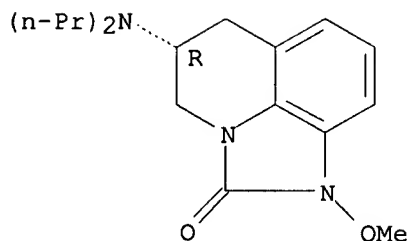


RN 166742-95-6 CAPLUS

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5-(dipropylamino)-5,6-dihydro-1-methoxy-, monohydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

09/313,534



● HCl

=> file uspatall

FILE 'USPATFULL' ENTERED AT 10:12:07 ON 25 SEP 2002

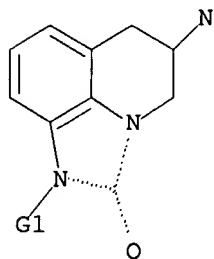
CA INDEXING COPYRIGHT (C) 2002 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPAT2' ENTERED AT 10:12:07 ON 25 SEP 2002

CA INDEXING COPYRIGHT (C) 2002 AMERICAN CHEMICAL SOCIETY (ACS)

=> d que

L1 STR



G1 O, S, CN

Structure attributes must be viewed using STN Express query preparation.

L3 18 SEA FILE=REGISTRY SSS FUL L1

L5 4 SEA L3

=> d 15 1-4 ibib abs hitstr

L5 ANSWER 1 OF 4 USPATFULL

ACCESSION NUMBER: 2001:233159 USPATFULL

TITLE: (R)-5,6-dihydro-5-(methylamino)-4H-imidazo[4,5,1-ij]quinolin-2(1H)-one maleate

INVENTOR(S): Ju, Tzu-Chi Robert, Thousand Oaks, CA, United States
Moon, Malcolm Wilson, Kalamazoo, MI, United States

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2001053386	A1	20011220
APPLICATION INFO.:	US 2001-759286	A1	20010111 (9)
RELATED APPLN. INFO.:	Continuation of Ser. No. US 1998-146090, filed on 30 Sep 1998, GRANTED, Pat. No. US 6197339		

	NUMBER	DATE
PRIORITY INFORMATION:	US 1997-60827P	19970930 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	Bruce Stein, Pharmacia & Upjohn Company, Global Intellectual Property, 301 Henrietta Street, Kalamazoo, MI, 49001	
NUMBER OF CLAIMS:	17	
EXEMPLARY CLAIM:	1	
LINE COUNT:	495	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The sustained release tablet of (R)-5,6-dihydro-5-(methylamino)-4H-imidazo[4,5-ij]-quinolin-2(1H)-one (Z)-2-butenedioate (1:1) which is disclosed permits twice daily administration of (R)-5,6-dihydro-5-(methylamino)-4H-imidazo[4,5-ij]-quinolin-2(1H)-one (Z)-2-butenedioate (1:1) to treat humans with Parkinson's disease.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

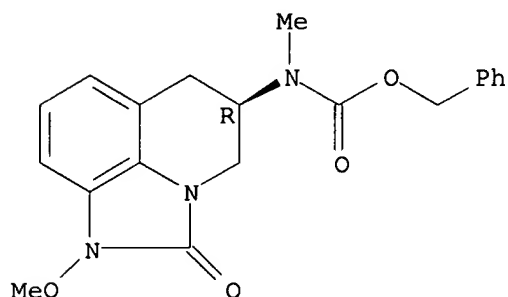
IT 222415-94-3P

(prepn. of methylaminoimidazoquinolinone to treat Parkinson's disease)

RN 222415-94-3 USPATFULL

CN Carbamic acid, methyl[(5R)-1,2,5,6-tetrahydro-1-methoxy-2-oxo-4H-imidazo[4,5,1-ij]quinolin-5-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L5 ANSWER 2 OF 4 USPATFULL

ACCESSION NUMBER: 2001:119294 USPATFULL

TITLE: HETEROCYCLIC AMINES HAVING CENTRAL NERVOUS SYSTEM ACTIVITY

INVENTOR(S): ROMERO, ARTHUR G., KALAMAZOO, MI, United States

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2001009916	A1	20010726
	US 5652245		(GRANTED)
APPLICATION INFO.:	US 1999-313534	A1	19990513 (9)
	US 1996-592328		19960123 (GRANTED)
RELATED APPLN. INFO.:	A 371 of International Ser. No. WO 1994-US6648, filed on 17 Jun 1994, UNKNOWN Continuation-in-part of Ser. No. US 1993-97608, filed on 27 Jul 1993, ABANDONED		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	APPLICATION		
LEGAL REPRESENTATIVE:	BRUCE STEIN, INTELLECTUAL PROPERTY LEGAL SERVICES, PHARMACIA & UPJOHN COMPANY, KALAMAZOO, MI, 49001		
NUMBER OF CLAIMS:	12		

09/313,534

EXEMPLARY CLAIM: 1

LINE COUNT: 861

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Tricyclic nitrogen containing compounds, having anxiolytic and anti-depressant activity and central nervous system activity of the following structural formula: ##STR1##

and pharmaceutically acceptable salts thereof wherein R.sub.1 and R.sub.2 are independently hydrogen. C.sub.1-6 alkyl or R.sub.1 and R.sub.2 are joined to form pyrrolidine, piperidine, morpholine or imidazole. X is OCH.sub.3, SO.sub.2R.sub.3, SO.sub.2CF.sub.3 or CN where R.sub.3 is C.sub.1-6 alkyl or an Aryl; and Y is hydrogen, Cl, Br, F, CN, CONR.sub.1R.sub.2, CF.sub.3, OCH.sub.3, SO.sub.2NR.sub.1R.sub.2. These new compounds are suitable for treating anxiolytic disorder, schizophrenia, Parkinson's disease, anxiety, depression or as compounds for lowering blood pressure or treating migraine headaches in patients in need of such treatment.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

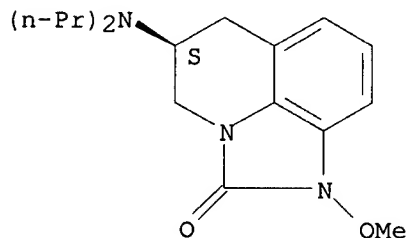
IT 166743-02-8

(bioactivity; prepn. of aminoimidazoquinolinones with 5-HT1 activity)

RN 166743-02-8 USPATFULL

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5-(dipropylamino)-5,6-dihydro-1-methoxy-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

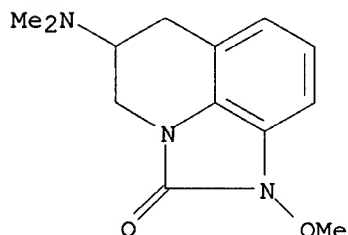


IT 166941-54-4 166941-55-5

(bioactivity; prepn. of aminoimidazoquinolinones with 5-HT1 activity)

RN 166941-54-4 USPATFULL

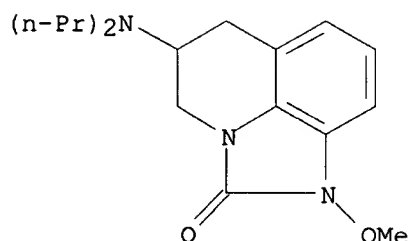
CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5-(dimethylamino)-5,6-dihydro-1-methoxy- (9CI) (CA INDEX NAME)



RN 166941-55-5 USPATFULL

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5-(dipropylamino)-5,6-dihydro-1-methoxy- (9CI) (CA INDEX NAME)

09/313,534



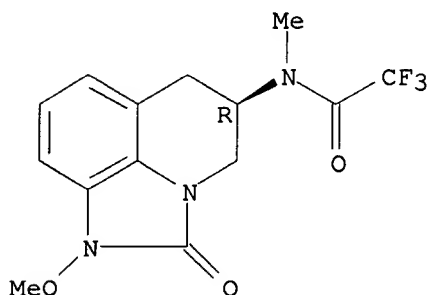
IT 166742-80-9P 166742-91-2P

(intermediate; prepn. of aminoimidazoquinolinones with 5-HT1 activity)

RN 166742-80-9 USPATFULL

CN Acetamide, 2,2,2-trifluoro-N-methyl-N-(1,2,5,6-tetrahydro-1-methoxy-2-oxo-4H-imidazo[4,5,1-ij]quinolin-5-yl)-, (R)- (9CI) (CA INDEX NAME)

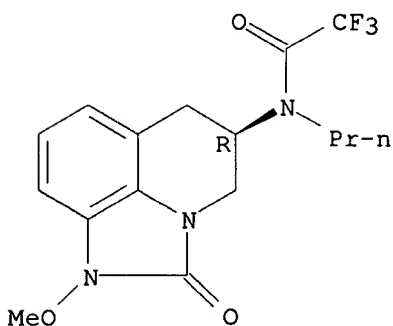
Absolute stereochemistry.



RN 166742-91-2 USPATFULL

CN Acetamide, 2,2,2-trifluoro-N-propyl-N-(1,2,5,6-tetrahydro-1-methoxy-2-oxo-4H-imidazo[4,5,1-ij]quinolin-5-yl)-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 166742-81-0P 166742-92-3P

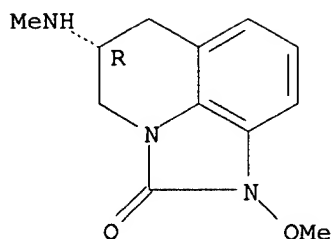
(prepn. of aminoimidazoquinolinones with 5-HT1 activity)

RN 166742-81-0 USPATFULL

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-1-methoxy-5-(methylamino)-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

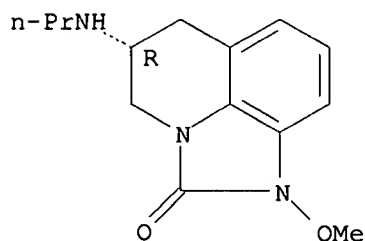
09/313,534



RN 166742-92-3 USPATFULL

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-1-methoxy-5-(propylamino)-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



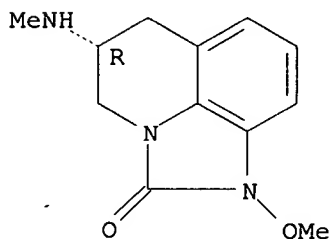
IT 166742-82-1P 166742-83-2P 166742-84-3P
166742-93-4P 166742-94-5P 166742-95-6P

(prepn. of aminoimidazoquinolinones with 5-HT1 activity)

RN 166742-82-1 USPATFULL

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-1-methoxy-5-(methylamino)-, monohydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



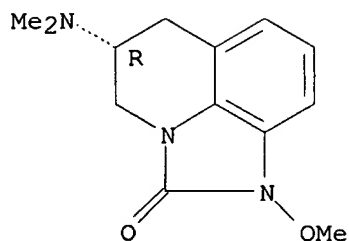
● HCl

RN 166742-83-2 USPATFULL

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5-(dimethylamino)-5,6-dihydro-1-methoxy-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

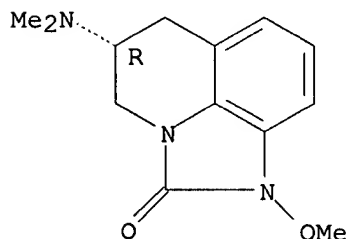
09/313,534



RN 166742-84-3 USPATFULL

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5-(dimethylamino)-5,6-dihydro-1-methoxy-, monohydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

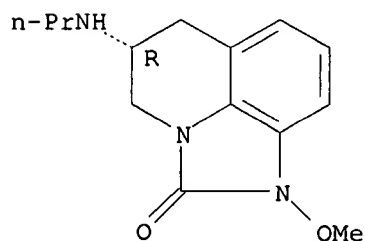


● HCl

RN 166742-93-4 USPATFULL

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-1-methoxy-5-(propylamino)-, monohydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



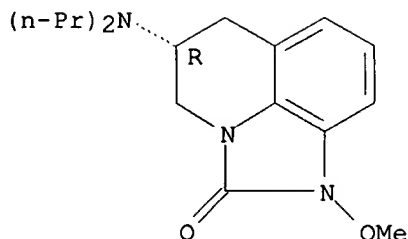
● HCl

RN 166742-94-5 USPATFULL

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5-(dipropylamino)-5,6-dihydro-1-methoxy-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

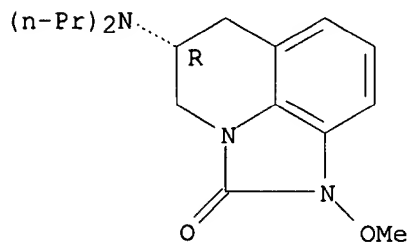
09/313,534



RN 166742-95-6 USPATFULL

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5-(dipropylamino)-5,6-dihydro-1-methoxy-, monohydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



● HCl

L5 ANSWER 3 OF 4 USPATFULL

ACCESSION NUMBER: 2001:32837 USPATFULL

TITLE: Sustained release tablet formulation to treat Parkinson's disease

INVENTOR(S): Ju, Tzu-chi Robert, Portage, MI, United States

PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, Kalamazoo, MI, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6197339	B1	20010306
APPLICATION INFO.:	US 1998-146090		19980930 (9)

	NUMBER	DATE
PRIORITY INFORMATION:	US 1997-60827P	19970930 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Page, Thurman K.	
ASSISTANT EXAMINER:	Seidleck, Brian K.	
LEGAL REPRESENTATIVE:	Stein, Bruce	
NUMBER OF CLAIMS:	17	
EXEMPLARY CLAIM:	1	
LINE COUNT:	498	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The sustained release tablet of (R)-5,6-dihydro-5-(methyamino)-4H-imidazo[4,5-ij]-quinolin-2(1H)-one (Z)-2-butenedioate (1:1) which is disclosed permits twice daily administration of (R)-5,6-dihydro-5-(methyamino)-4H-imidazo[4,5-ij]-quinolin-2(1H)-one (Z)-2-butenedioate

(1:1) to treat humans with Parkinson's disease.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

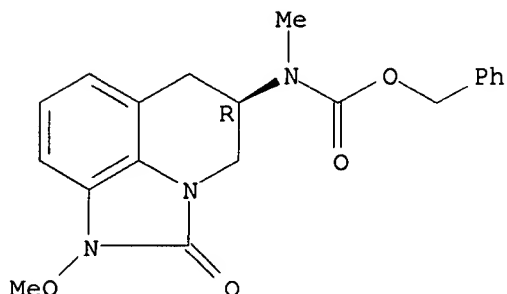
IT 222415-94-3P

(prepn. of methylaminoimidazoquinolinone to treat Parkinson's disease)

RN 222415-94-3 USPATFULL

CN Carbamic acid, methyl[(5R)-1,2,5,6-tetrahydro-1-methoxy-2-oxo-4H-imidazo[4,5,1-ij]quinolin-5-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L5 ANSWER 4 OF 4 USPATFULL

ACCESSION NUMBER: 97:66132 USPATFULL ✓

TITLE: Heterocyclic amines having central nervous system activity

INVENTOR(S): Romero, Arthur G., Kalamazoo, MI, United States

PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, Kalamazoo, MI, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5652245		19970729
	WO 9504056		19950209
APPLICATION INFO.:	US 1996-592328		19960123 (8)
	WO 1994-US6648		19940617
			19960123 PCT 371 date
			19960123 PCT 102(e) date
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 1993-97608, filed on 27 Jul 1993, now abandoned		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Morris, Patricia L.		
LEGAL REPRESENTATIVE:	Corneglio, Donald L.		
NUMBER OF CLAIMS:	8		
EXEMPLARY CLAIM:	1		
LINE COUNT:	832		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Tricyclic nitrogen containing compounds, having anxiolytic and anti-depressant activity and central nervous system activity of the following structural formula: ##STR1## and pharmaceutically acceptable salts thereof wherein R.sub.1 and R.sub.2 are independently hydrogen, C.sub.1-6 alkyl or R.sub.1 and R.sub.2 are joined to form pyrrolidine, piperidine, morpholine or imidazole. X is OCH.sub.3, SO.sub.2 R.sub.3, SO.sub.2 CF.sub.3 or CN where R.sub.3 is C.sub.1-6 alkyl or an Aryl; and Y is hydrogen, Cl, Br, F, CN, CONR.sub.1 R.sub.2, CF.sub.3, OCH.sub.3, SO.sub.2 NR.sub.1 R.sub.2. These new compounds are suitable for treating anxiolytic disorder, schizophrenia, Parkinson's disease, anxiety,

depression or as compounds for lowering blood pressure or treating migraine headaches in patients in need of such treatment.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

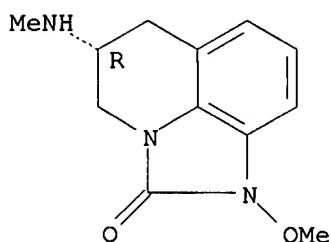
IT **166742-81-0P 166742-92-3P**

(prepn. of 5,6-dihydro-4H-imidazo[4,5,1-ij]quinolin-2(1H)-ones having central nervous system activity)

RN 166742-81-0 USPATFULL

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-1-methoxy-5-(methylamino)-, (R)- (9CI) (CA INDEX NAME)

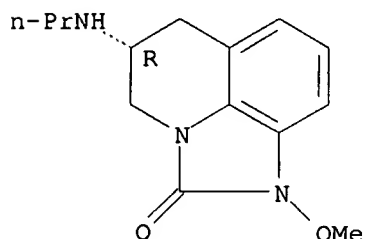
Absolute stereochemistry. Rotation (-).



RN 166742-92-3 USPATFULL

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-1-methoxy-5-(propylamino)-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



IT **166742-82-1P 166742-83-2P 166742-84-3P**
166742-93-4P 166742-94-5P 166742-95-6P

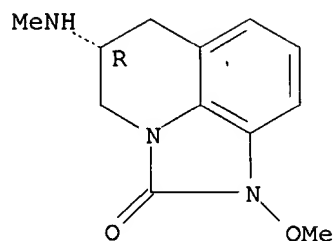
(prepn. of 5,6-dihydro-4H-imidazo[4,5,1-ij]quinolin-2(1H)-ones having central nervous system activity)

RN 166742-82-1 USPATFULL

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-1-methoxy-5-(methylamino)-, monohydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

09/313,534

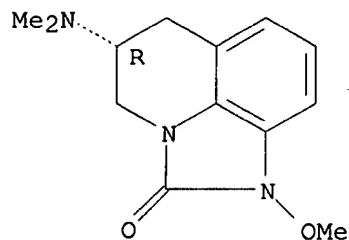


● HCl

RN 166742-83-2 USPATFULL

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5-(dimethylamino)-5,6-dihydro-1-methoxy-, (R)- (9CI) (CA INDEX NAME)

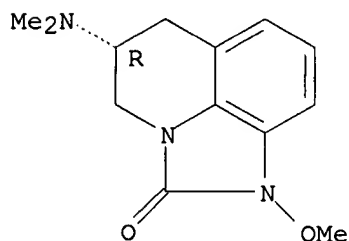
Absolute stereochemistry. Rotation (-).



RN 166742-84-3 USPATFULL

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5-(dimethylamino)-5,6-dihydro-1-methoxy-, monohydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



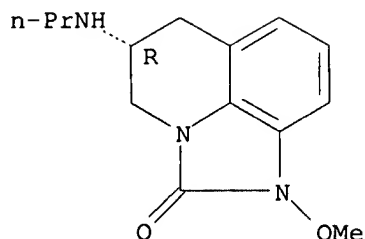
● HCl

RN 166742-93-4 USPATFULL

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-1-methoxy-5-(propylamino)-, monohydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

09/313,534

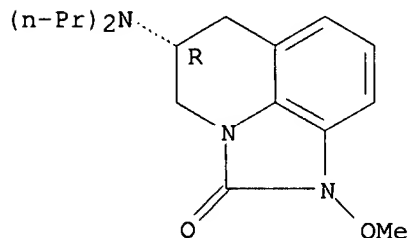


● HCl

RN 166742-94-5 USPATFULL

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5-(dipropylamino)-5,6-dihydro-1-methoxy-, (R)- (9CI) (CA INDEX NAME)

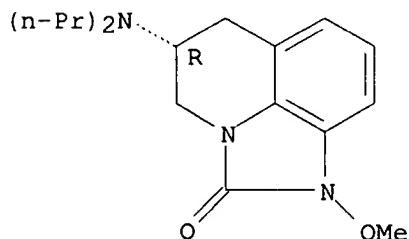
Absolute stereochemistry. Rotation (-).



RN 166742-95-6 USPATFULL

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5-(dipropylamino)-5,6-dihydro-1-methoxy-, monohydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



● HCl

IT 194222-72-5P 194222-88-3P 194222-96-3P

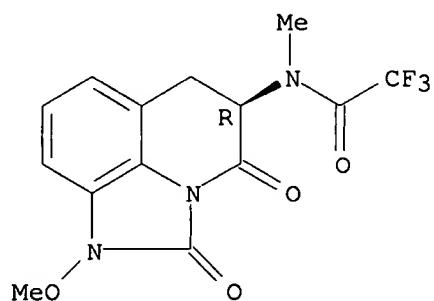
(prepn. of 5,6-dihydro-4H-imidazo[4,5,1-ij]quinolin-2(1H)-ones having central nervous system activity)

RN 194222-72-5 USPATFULL

CN Acetamide, 2,2,2-trifluoro-N-methyl-N-(1,2,5,6-tetrahydro-1-methoxy-2,4-dioxo-4H-imidazo[4,5,1-ij]quinolin-5-yl)-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

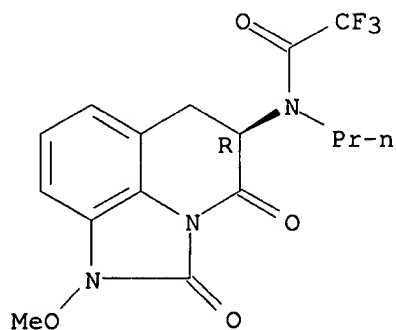
09/313,534



RN 194222-88-3 USPATFULL

CN Acetamide, 2,2,2-trifluoro-N-propyl-N-(1,2,5,6-tetrahydro-1-methoxy-2,4-dioxo-4H-imidazo[4,5,1-ij]quinolin-5-yl)-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 194222-96-3 USPATFULL

CN Carbamic acid, methyl(1,2,5,6-tetrahydro-1-methoxy-2,4-dioxo-4H-imidazo[4,5,1-ij]quinolin-5-yl)-, phenylmethyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

